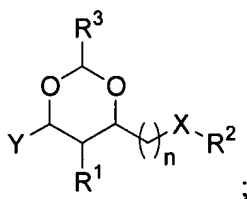


## Amendments to the Claims

This listing of claims will replace all prior versions, and listings, of claims in the application:

### Listing of Claims

1. (Currently amended) A compound having the structure (I):



and pharmaceutically acceptable derivatives thereof;

wherein  $R^1$  is hydrogen, or an aliphatic, alicyclic, heteroaliphatic, heterocyclic, aromatic or heteroaromatic moiety;

$n$  is 1-5;

$R^2$  is hydrogen, a protecting group, or an aliphatic, alicyclic, heteroaliphatic, heterocyclic, aromatic or heteroaromatic moiety;

$X$  is  $-O-$ ,  $-C(R^{2A})_2-$ ,  $-S-$ , or  $-NR^{2A}-$ , wherein  $R^{2A}$  is hydrogen, a protecting group, or an aliphatic, alicyclic, heteroaliphatic, heterocyclic, aromatic or heteroaromatic moiety;

or wherein two or more occurrences of  $R^2$  and  $R^{2A}$ , taken together, form an alicyclic or heterocyclic moiety, or an aryl or heteroaryl moiety;

$R^3$  is an aliphatic, alicyclic, heteroaliphatic, heterocyclic, aromatic or heteroaromatic moiety; and

$Y$  is ~~hydrogen or an aliphatic, alicyclic, heteroaliphatic, heterocyclic, aromatic or heteroaromatic moiety.~~

2. (Currently amended) The compound of claim 1, wherein:

$R^1$  is hydrogen, or an aliphatic, alicyclic, heteroaliphatic, heterocyclic, aryl, heteroaryl, - (aliphatic)aryl, -(aliphatic)heteroaryl, -(heteroaliphatic)aryl, or -(heteroaliphatic)heteroaryl moiety;

$R^2$  is hydrogen, a protecting group, or an aliphatic, alicyclic, heteroaliphatic, heterocyclic, aryl, heteroaryl, -(aliphatic)aryl, -(aliphatic)heteroaryl, -(heteroaliphatic)aryl, or -(heteroaliphatic)heteroaryl moiety;

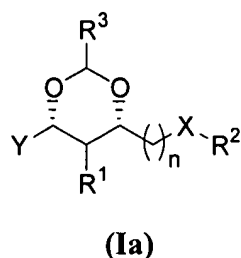
X is  $-O-$ ,  $-C(R^{2A})_2-$ ,  $-S-$ , or  $-NR^{2A}-$ , wherein  $R^{2A}$  is hydrogen, a protecting group, or an aliphatic, alicyclic, heteroaliphatic, heterocyclic, aryl, heteroaryl, -(aliphatic)aryl, -(aliphatic)heteroaryl, -(heteroaliphatic)aryl, or -(heteroaliphatic)heteroaryl moiety;

or wherein two or more occurrences of  $R^2$  and  $R^{2A}$ , taken together, form an alicyclic or heterocyclic moiety, or an aryl or heteroaryl moiety;

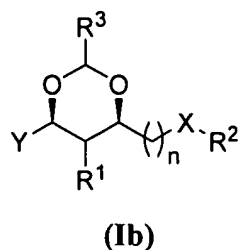
$R^3$  is an aliphatic, alicyclic, heteroaliphatic, heterocyclic, aryl, heteroaryl, -(aliphatic)aryl, -(aliphatic)heteroaryl, -(heteroaliphatic)aryl, or -(heteroaliphatic)heteroaryl moiety; and

Y is ~~hydrogen or an aliphatic, alicyclic, heteroaliphatic, heterocyclic, aryl, heteroaryl, -(aliphatic)aryl, -(aliphatic)heteroaryl, or -(heteroaliphatic)aryl, or -(heteroaliphatic)heteroaryl~~ moiety.

3. (Original) The compound of claim 1, wherein the compound has the structure as shown in formula (Ia):



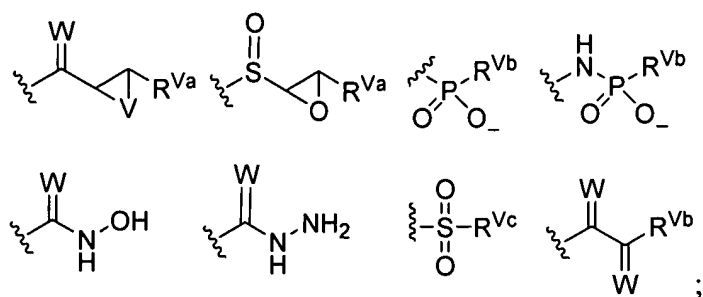
4. (Original) The compound of claim 1, wherein the compound has the structure as shown in formula (Ib):



5. (Previously presented) The compound of claim 1, wherein when R<sup>3</sup> represents a phenyl group substituted with a moiety having the structure -P-Q, the following groups do not occur simultaneously as defined:

P is selected from the group consisting of substituted or unsubstituted C<sub>4</sub>-C<sub>8</sub> alkylenes, C<sub>4</sub>-C<sub>8</sub> alkenylenes, C<sub>4</sub>-C<sub>8</sub> alkynylenes, and -R-T-U-, wherein R and U are independently absent or represent a C<sub>2</sub>-C<sub>7</sub> alkylenes, a C<sub>2</sub>-C<sub>7</sub> alkenylenes, or a C<sub>2</sub>-C<sub>7</sub> alkynylenes, and T represents O, S or NR<sup>T</sup>, wherein R<sup>T</sup> represents hydrogen, lower alkyl, lower alkenyl, lower alkynyl, aralkyl, aryl or heterocyclyl; and

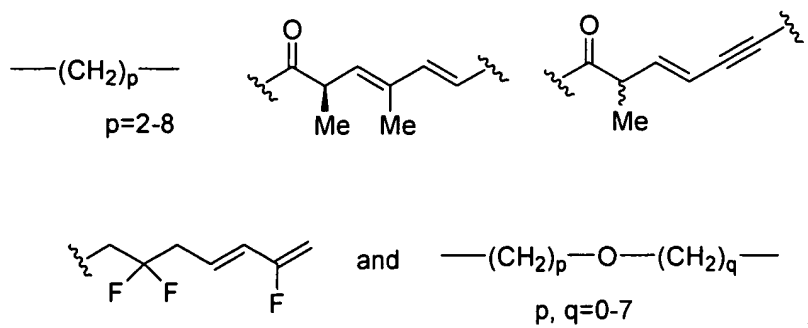
Q is selected from the group consisting of:



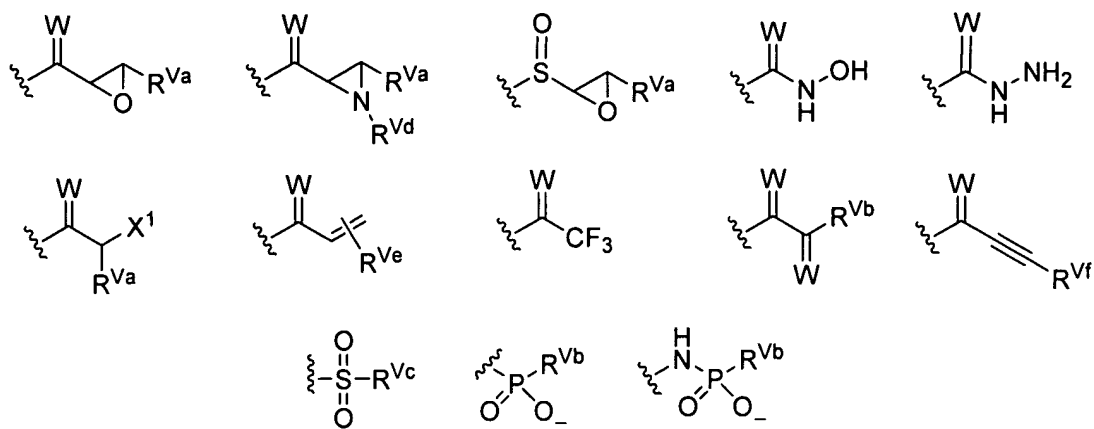
and a boronic acid moiety; wherein W is O or S; V is O, S or -NR<sup>Vd</sup>, wherein R<sup>Vd</sup> is hydrogen, alkyl, alkoxy, aryloxy, alkylsulfonyl, arylsulfonyl, or aryl; R<sup>Va</sup> is hydrogen, alkyl, alkenyl, alkynyl, or aryl; R<sup>Vb</sup> is hydrogen, alkyl, aryl, alkoxy, aryloxy, amino, hydroxylamino, alkoxyamino or halogen; and R<sup>Vc</sup> is hydrogen, alkyl, aryl, hydroxyl, alkoxy, aryloxy or amino.

6. (Original) The compound of claim 1, wherein when R<sup>3</sup> represents a phenyl group substituted with a moiety having the structure -P-Q, the following groups do not occur simultaneously as defined:

P is selected from the group consisting of:



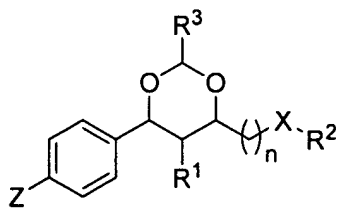
and Q is selected from the group consisting of:



wherein W and R<sup>Va-d</sup> are as defined above; X<sup>1</sup> is a good leaving group (*e.g.*, diazo, halogen, a sulfate or sulfonate ester such as a tosylate or mesylate); R<sup>Ve</sup> is hydrogen, alkyl, aryl, alkoxy, aryloxy, halogen; and R<sup>Vf</sup> is hydrogen, alkyl or halogen.

7. (Original) The compound of claim 1, wherein Y is an aryl or heteroaryl moiety substituted with Z, wherein Z is hydrogen,  $-(CH_2)_qOR^Z$ ,  $-(CH_2)_qSR^Z$ ,  $-(CH_2)_qN(R^Z)_2$ ,  $-C(=O)R^Z$ ,  $-C(=O)N(R^Z)_2$ , or an aliphatic, alicyclic, heteroaliphatic, heterocyclic, aryl, heteroaryl, - (aliphatic)aryl, -(aliphatic)heteroaryl, -(heteroaliphatic)aryl, or -(heteroaliphatic)heteroaryl moiety, wherein q is 0-4, and wherein each occurrence of R<sup>Z</sup> is independently hydrogen, a protecting group, a solid support unit, or an aliphatic, alicyclic, heteroaliphatic, heterocyclic, aryl, heteroaryl, -(aliphatic)aryl, -(aliphatic)heteroaryl, -(heteroaliphatic)aryl, or - (heteroaliphatic)heteroaryl moiety.

8. (Original) The compound of claim 1, wherein Y is a substituted phenyl moiety and the compound has the structure (II):

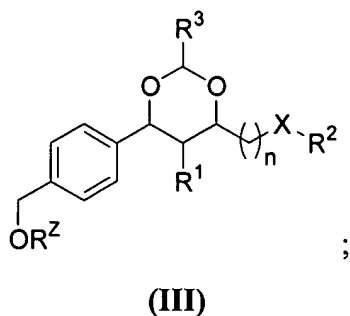


(II)

wherein Z is hydrogen,  $-(CH_2)_qOR^Z$ ,  $-(CH_2)_qSR^Z$ ,  $-(CH_2)_qN(R^Z)_2$ ,  $-C(=O)R^Z$ ,  $-C(=O)N(R^Z)_2$ , or an alkyl, heteroalkyl, aryl, heteroaryl, -(alkyl)aryl, -(alkyl)heteroaryl, -

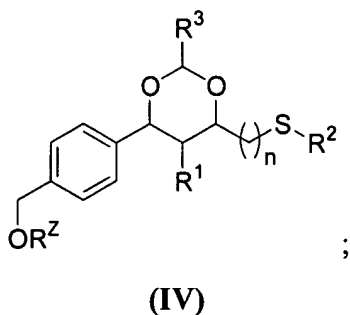
(heteroalkyl)aryl, or –(heteroalkyl)heteroaryl moiety, wherein q is 0-4, and wherein each occurrence of  $R^Z$  is independently hydrogen, a protecting group, a solid support unit, or an alkyl, cycloalkyl, heteroalkyl, heterocyclic, aryl, heteroaryl, -(alkyl)aryl, -(alkyl)heteroaryl, -(heteroalkyl)aryl, or –(heteroalkyl)heteroaryl moiety.

9. (Original) The compound of claim 1, wherein Y is a substituted phenyl moiety and the compound has the structure (III):



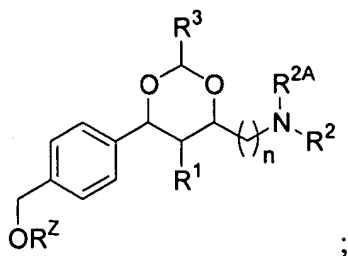
wherein  $R^Z$  is hydrogen, a protecting group, a solid support unit, or an alkyl, cycloalkyl, heteroalkyl, heterocyclic, aryl, heteroaryl, -(alkyl)aryl, -(alkyl)heteroaryl, -(heteroalkyl)aryl, or –(heteroalkyl)heteroaryl moiety.

10. (Original) The compound of claim 1, wherein Y is a substituted phenyl moiety and X is S and the compound has the structure (IV):



wherein  $R^Z$  is hydrogen, a protecting group, a solid support unit, or an alkyl, cycloalkyl, heteroalkyl, heterocyclic, aryl, heteroaryl, -(alkyl)aryl, -(alkyl)heteroaryl, -(heteroalkyl)aryl, or –(heteroalkyl)heteroaryl moiety.

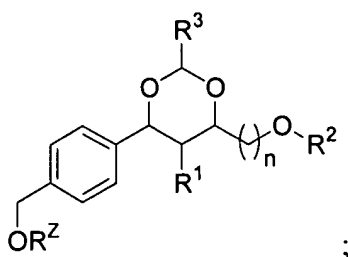
11. (Original) The compound of claim 1, wherein Y is a substituted phenyl moiety and X is  $-NR^{2A}$  and the compound has the structure (V):



**(V)**

wherein  $R^Z$  is hydrogen, a protecting group, a solid support unit, or an alkyl, cycloalkyl, heteroalkyl, heterocyclic, aryl, heteroaryl, -(alkyl)aryl, -(alkyl)heteroaryl, -(heteroalkyl)aryl, or – (heteroalkyl)heteroaryl moiety.

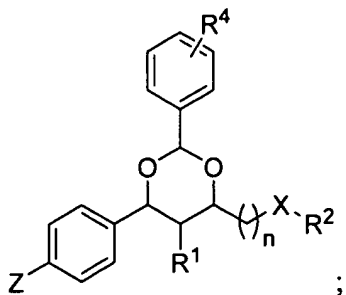
12. (Original) The compound of claim 1, wherein Y is a substituted phenyl moiety and X is –O– and the compound has the structure **(VI)**:



**(VI)**

wherein  $R^Z$  is hydrogen, a protecting group, a solid support unit, or an alkyl, cycloalkyl, heteroalkyl, heterocyclic, aryl, heteroaryl, -(alkyl)aryl, -(alkyl)heteroaryl, -(heteroalkyl)aryl, or – (heteroalkyl)heteroaryl moiety.

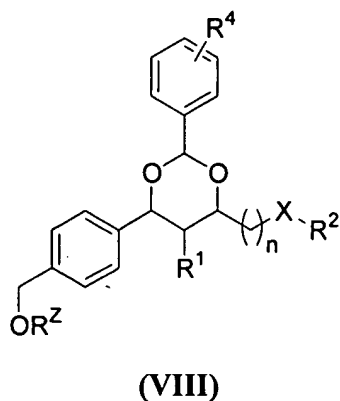
13. (Original) The compound of claim 1, wherein Y is a substituted phenyl moiety and  $R^3$  is a phenyl moiety substituted with  $R^4$  and the compound has the structure **(VII)**:



**(VII)**

wherein  $R^4$  is  $-(CH_2)_rN(R^{4A})_2$ ,  $-(CH_2)_rSR^{4A}$ ,  $-(CH_2)_rOR^{4A}$ ,  $-(CH_2)_rNR^{4A}C(=O)R^{4B}$ ,  $-(CH_2)_rC(=O)N(R^{4A})_2$ ,  $-S(O)_2R^{4A}$ , or is an aliphatic, alicyclic, heteroaliphatic, heterocyclic, aryl, heteroaryl,  $-(aliphatic)aryl$ ,  $-(aliphatic)heteroaryl$ ,  $-(heteroaliphatic)aryl$ , or  $-(heteroaliphatic)heteroaryl$  moiety, wherein each occurrence of  $R^{4B}$  is independently hydrogen, an aliphatic, alicyclic, heteroaliphatic, heterocyclic, aryl, heteroaryl,  $-(aliphatic)aryl$ ,  $-(aliphatic)heteroaryl$ ,  $-(heteroaliphatic)aryl$ , or  $-(heteroaliphatic)heteroaryl$  moiety; and each occurrence of  $R^{4A}$  is independently hydrogen, a protecting group, an aliphatic, alicyclic, heteroaliphatic, heterocyclic, aryl, heteroaryl,  $-(aliphatic)aryl$ ,  $-(aliphatic)heteroaryl$ ,  $-(heteroaliphatic)aryl$ , or  $-(heteroaliphatic)heteroaryl$  moiety, or is  $-C(=O)CH(R^{4C})NH(SO_2)R^{4D}$ ,  $-SO_2R^{4C}$ ,  $-C(=O)R^{4C}$ ,  $-C(=O)N(R^{4C})_2$ ,  $-C(=S)N(R^{4C})_2$ , or  $-C(=O)(CH_2)_lC(=O)NHR^{4C}$ , wherein each occurrence of  $R^{4C}$  and  $R^{4D}$  is independently hydrogen, a protecting group, hydroxyl, protected hydroxyl, or an aliphatic, alicyclic, heteroaliphatic, heterocyclic, aryl, heteroaryl,  $-(aliphatic)aryl$ ,  $-(aliphatic)heteroaryl$ ,  $-(heteroaliphatic)aryl$ , or  $-(heteroaliphatic)heteroaryl$  moiety, wherein  $r$  and  $t$  are each independently 0-5; and  $Z$  is hydrogen,  $-(CH_2)_qOR^Z$ ,  $-(CH_2)_qSR^Z$ ,  $-(CH_2)_qN(R^Z)_2$ ,  $-C(=O)R^Z$ ,  $-C(=O)N(R^Z)_2$ , or an alkyl, heteroalkyl, aryl, heteroaryl,  $-(alkyl)aryl$ ,  $-(alkyl)heteroaryl$ ,  $-(heteroalkyl)aryl$ , or  $-(heteroalkyl)heteroaryl$  moiety, wherein  $q$  is 0-4, and wherein each occurrence of  $R^Z$  is independently hydrogen, a protecting group, a solid support unit, or an alkyl, cycloalkyl, heteroalkyl, heterocyclic, aryl, heteroaryl,  $-(alkyl)aryl$ ,  $-(alkyl)heteroaryl$ ,  $-(heteroalkyl)aryl$ , or  $-(heteroalkyl)heteroaryl$  moiety.

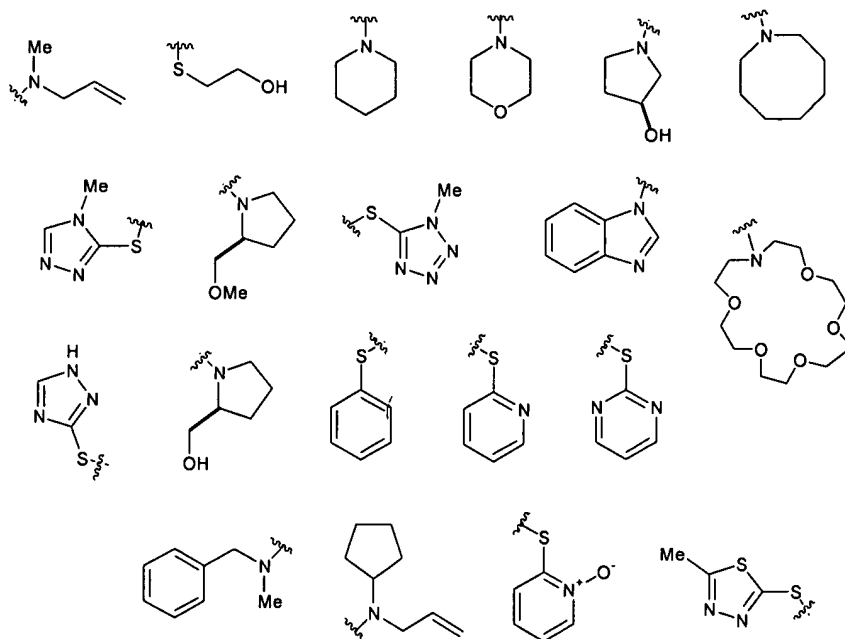
14. (Original) The compound of claim 13, wherein  $Z$  is  $-CH_2OR^Z$ , and the compound has the structure (VIII):



wherein  $R^Z$  is hydrogen, a protecting group, a solid support unit, or an alkyl, cycloalkyl, heteroalkyl, heterocyclic, aryl, heteroaryl, -(alkyl)aryl, -(alkyl)heteroaryl, -(heteroalkyl)aryl, or – (heteroalkyl)heteroaryl moiety.

15. (Original) The compound of claim 1, wherein  $R^1$  is hydrogen, methyl, or phenyl.

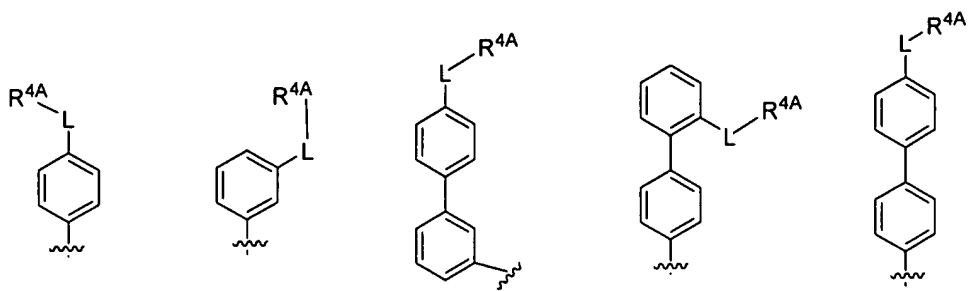
16. (Previously presented) The compound of claim 1, wherein  $X-R^2$  has one of the structures:







17. (Previously presented) The compound of claim 1, wherein  $R^3$  is one of the following structures:



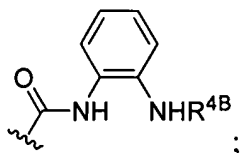
wherein L is a substituted or unsubstituted  $C_{4-8}$ alkylene or  $C_{4-8}$ alkenylene chain wherein up to two non-adjacent methylene units are independently optionally replaced by CO,  $CO_2$ , COCO,  $CONR^{Z1}$ ,  $OCONR^{Z1}$ ,  $NR^{Z1}NR^{Z2}$ ,  $NR^{Z1}NR^{Z2}CO$ ,  $NR^{Z1}CO$ ,  $NR^{Z1}CO_2$ ,  $NR^{Z1}CONR^{Z2}$ , SO,  $SO_2$ ,  $NR^{Z1}SO_2$ ,  $SO_2NR^{Z1}$ ,  $NR^{Z1}SO_2NR^{Z2}$ , O, S, or  $NR^{Z1}$ ; wherein each occurrence of  $R^{Z1}$  and  $R^{Z2}$  is independently hydrogen, alkyl, heteroalkyl, aryl, heteroaryl or acyl; and  $R^{4A}$  comprises a metal chelator.

18. (Previously presented) The compound of claim 17, wherein L is –  $(CH_2)_rN(R^{4C})Alk^1$ -, wherein r is 0 or 1;  $R^{4C}$  is hydrogen, a nitrogen protecting group, alkyl, acyl, heteroalkyl, aryl or heteroaryl; and  $Alk^1$  is a substituted or unsubstituted  $C_{3-7}$ alkylene or  $C_{3-7}$ alkenylene chain wherein up to two non-adjacent methylene units are independently optionally replaced by CO,  $CO_2$ , COCO,  $CONR^{Z1}$ ,  $OCONR^{Z1}$ ,  $NR^{Z1}NR^{Z2}$ ,  $NR^{Z1}NR^{Z2}CO$ ,  $NR^{Z1}CO$ ,  $NR^{Z1}CO_2$ ,  $NR^{Z1}CONR^{Z2}$ , SO,  $SO_2$ ,  $NR^{Z1}SO_2$ ,  $SO_2NR^{Z1}$ ,  $NR^{Z1}SO_2NR^{Z2}$ , O, S, or  $NR^{Z1}$ ; wherein each occurrence of  $R^{Z1}$  and  $R^{Z2}$  is independently hydrogen, alkyl, heteroalkyl, aryl, heteroaryl or acyl.

19. (Previously presented) The compound of claim 17, wherein L is –  $(CH_2)_rN(R^{4C})C(=O)Alk^2$ -, wherein r is 0 or 1;  $R^{4C}$  is hydrogen, a nitrogen protecting group, alkyl, acyl, heteroalkyl, aryl or heteroaryl; and  $Alk^2$  is a substituted or unsubstituted  $C_{3-6}$ alkylene or  $C_{3-6}$ alkenylene chain wherein up to two non-adjacent methylene units are independently optionally replaced by CO,  $CO_2$ , COCO,  $CONR^{Z1}$ ,  $OCONR^{Z1}$ ,  $NR^{Z1}NR^{Z2}$ ,  $NR^{Z1}NR^{Z2}CO$ ,  $NR^{Z1}CO$ ,  $NR^{Z1}CO_2$ ,  $NR^{Z1}CONR^{Z2}$ , SO,  $SO_2$ ,  $NR^{Z1}SO_2$ ,  $SO_2NR^{Z1}$ ,  $NR^{Z1}SO_2NR^{Z2}$ , O, S, or  $NR^{Z1}$ ; wherein each occurrence of  $R^{Z1}$  and  $R^{Z2}$  is independently hydrogen, alkyl, heteroalkyl, aryl, heteroaryl or acyl.

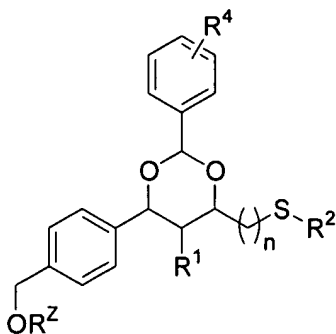
20. (Previously presented) The compound of claim 17, wherein L is –  
 $(\text{CH}_2)_r\text{NHC}(=\text{O})(\text{CH}_2)_t$ -, wherein r is 0 or 1; and t is 3, 4, 5 or 6.

21. (Original) The compound of any one of claims 17-20, wherein  $\text{R}^{4\text{A}}$  is  $-\text{C}(=\text{O})\text{OR}^{4\text{B}}$ , -  
 $\text{C}(=\text{O})\text{NHR}^{4\text{B}}$  or a moiety having the structure:



wherein each occurrence of  $\text{R}^{4\text{B}}$  is independently hydrogen, alkyl, heteroalkyl, aryl,  
heteroaryl or acyl.

22. (Original) The compound of claim 1, wherein the compound has the structure:

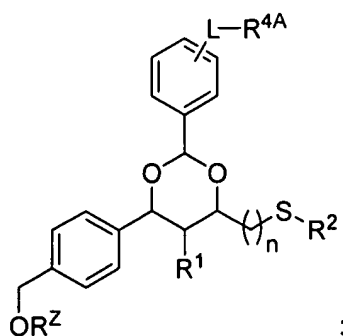


wherein  $\text{R}^4$  is  $-(\text{CH}_2)_r\text{N}(\text{R}^{4\text{A}})_2$ ,  $-(\text{CH}_2)_r\text{SR}^{4\text{A}}$ ,  $-(\text{CH}_2)_r\text{OR}^{4\text{A}}$ ,  $-(\text{CH}_2)_r\text{NR}^{4\text{A}}\text{C}(=\text{O})\text{R}^{4\text{B}}$ , -  
 $(\text{CH}_2)_r\text{C}(=\text{O})\text{N}(\text{R}^{4\text{A}})_2$ ,  $-\text{S}(\text{O})_2\text{R}^{4\text{A}}$ , or is an aliphatic, alicyclic, heteroaliphatic, heterocyclic, aryl,  
heteroaryl, -(aliphatic)aryl, -(aliphatic)heteroaryl, -(heteroaliphatic)aryl, or –  
(heteroaliphatic)heteroaryl moiety, wherein each occurrence of  $\text{R}^{4\text{B}}$  is independently hydrogen,  
an aliphatic, alicyclic, heteroaliphatic, heterocyclic, aryl, heteroaryl, -(aliphatic)aryl, -  
(aliphatic)heteroaryl, -(heteroaliphatic)aryl, or –(heteroaliphatic)heteroaryl moiety; and each  
occurrence of  $\text{R}^{4\text{A}}$  is independently hydrogen, a protecting group, an aliphatic, alicyclic,  
heteroaliphatic, heterocyclic, aryl, heteroaryl, -(aliphatic)aryl, -(aliphatic)heteroaryl, -  
(heteroaliphatic)aryl, or –(heteroaliphatic)heteroaryl moiety, or is  $-\text{C}(=\text{O})\text{CH}(\text{R}^{4\text{C}})\text{NH}(\text{SO}_2)\text{R}^{4\text{D}}$ ,  
 $-\text{SO}_2\text{R}^{4\text{C}}$ ,  $-\text{C}(=\text{O})\text{R}^{4\text{C}}$ ,  $-\text{C}(=\text{O})\text{N}(\text{R}^{4\text{C}})_2$ ,  $-\text{C}(=\text{S})\text{N}(\text{R}^{4\text{C}})_2$ , or  $-\text{C}(=\text{O})(\text{CH}_2)_t\text{C}(=\text{O})\text{NHR}^{4\text{C}}$ , wherein  
each occurrence of  $\text{R}^{4\text{C}}$  and  $\text{R}^{4\text{D}}$  is independently hydrogen, a protecting group, hydroxyl,  
protected hydroxyl, or an aliphatic, alicyclic, heteroaliphatic, heterocyclic, aryl, heteroaryl, -

(aliphatic)aryl, -(aliphatic)heteroaryl, -(heteroaliphatic)aryl, or -(heteroaliphatic)heteroaryl moiety, wherein r and t are each independently 0-5; and  $R^Z$  is hydrogen, a protecting group, a solid support unit, or an alkyl, cycloalkyl, heteroalkyl, heterocyclic, aryl, heteroaryl, -(alkyl)aryl, -(alkyl)heteroaryl, -(heteroalkyl)aryl, or -(heteroalkyl)heteroaryl moiety.

23. (Original) The compound of claim 22, wherein  $R^1$  is hydrogen, phenyl or methyl,  $R^Z$  is hydrogen or a solid support unit;  $R^2$  is a substituted or unsubstituted alkyl or heteroalkyl moiety, or a substituted or unsubstituted aryl or heteroaryl moiety; and  $R^4$  is  $-(CH_2)_rN(R^{4A})_2$ ,  $-(CH_2)_rSR^{4A}$ ,  $-(CH_2)_rOR^{4A}$ ,  $-(CH_2)_rNR^{4A}C(=O)R^{4B}$ ,  $-(CH_2)_rC(=O)N(R^{4A})_2$ ,  $-S(O)_2R^{4A}$ , or is an aliphatic, alicyclic, heteroaliphatic, heterocyclic, aryl, heteroaryl, -(aliphatic)aryl, -(aliphatic)heteroaryl, -(heteroaliphatic)aryl, or -(heteroaliphatic)heteroaryl moiety, wherein each occurrence of  $R^{4B}$  is independently hydrogen, an aliphatic, alicyclic, heteroaliphatic, heterocyclic, aryl, heteroaryl, -(aliphatic)aryl, -(aliphatic)heteroaryl, -(heteroaliphatic)aryl, or -(heteroaliphatic)heteroaryl moiety; and each occurrence of  $R^{4A}$  is independently hydrogen, a protecting group, an aliphatic, alicyclic, heteroaliphatic, heterocyclic, aryl, heteroaryl, -(aliphatic)aryl, -(aliphatic)heteroaryl, -(heteroaliphatic)aryl, or -(heteroaliphatic)heteroaryl moiety, or is  $-C(=O)CH(R^{4C})NH(SO_2)R^{4D}$ ,  $-SO_2R^{4C}$ ,  $-C(=O)R^{4C}$ ,  $-C(=O)N(R^{4C})_2$ ,  $-C(=S)N(R^{4C})_2$ , or  $-C(=O)(CH_2)_tC(=O)NHR^{4C}$ , wherein each occurrence of  $R^{4C}$  and  $R^{4D}$  is independently hydrogen, a protecting group, hydroxyl, protected hydroxyl, or an aliphatic, alicyclic, heteroaliphatic, heterocyclic, aryl, heteroaryl, -(aliphatic)aryl, -(aliphatic)heteroaryl, -(heteroaliphatic)aryl, or -(heteroaliphatic)heteroaryl moiety, wherein r and t are each independently 0-5.

24. (Previously presented) The compound of claim 22, wherein  $R^4$  represents a moiety having the structure  $-L-R^{4A}$  and the compound has the structure:

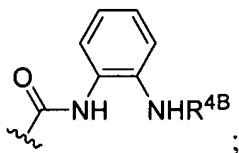


wherein L is a linker and R<sup>4A</sup> comprises a metal chelator.

25. (Previously presented) The compound of claim 24, wherein L is a substituted or unsubstituted C<sub>4-8</sub>alkylene or C<sub>4-8</sub>alkenylene chain wherein up to two non-adjacent methylene units are independently optionally replaced by CO, CO<sub>2</sub>, COCO, CONR<sup>Z1</sup>, OCONR<sup>Z1</sup>, NR<sup>Z1</sup>NR<sup>Z2</sup>, NR<sup>Z1</sup>NR<sup>Z2</sup>CO, NR<sup>Z1</sup>CO, NR<sup>Z1</sup>CO<sub>2</sub>, NR<sup>Z1</sup>CONR<sup>Z2</sup>, SO, SO<sub>2</sub>, NR<sup>Z1</sup>SO<sub>2</sub>, SO<sub>2</sub>NR<sup>Z1</sup>, NR<sup>Z1</sup>SO<sub>2</sub>NR<sup>Z2</sup>, O, S, or NR<sup>Z1</sup>; wherein each occurrence of R<sup>Z1</sup> and R<sup>Z2</sup> is independently hydrogen, alkyl, heteroalkyl, aryl, heteroaryl or acyl.

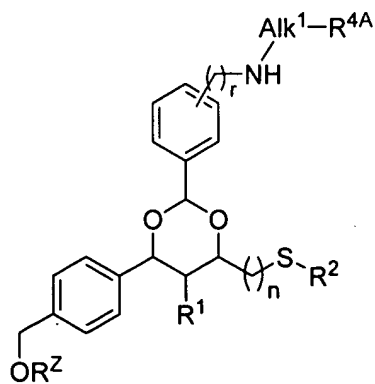
26. (Previously presented) The compound of claim 25, wherein L is –(CH<sub>2</sub>)<sub>r</sub>NHC(=O)(CH<sub>2</sub>)<sub>t</sub>–, wherein r is 0 or 1; and t is 3, 4, 5 or 6.

27. (Original) The compound of claim 24, wherein R<sup>4A</sup> is –C(=O)OR<sup>4B</sup>, –C(=O)NHR<sup>4B</sup> or a moiety having the structure:



wherein each occurrence of R<sup>4B</sup> is independently hydrogen, alkyl, heteroalkyl, aryl, heteroaryl or acyl.

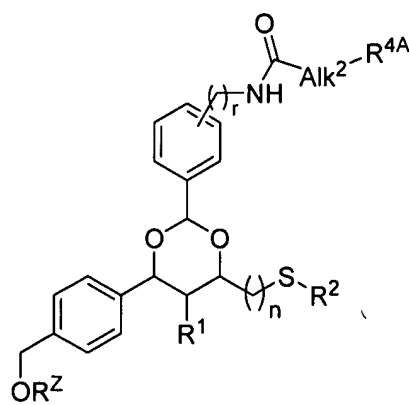
28. (Previously presented) The compound of claim 24, wherein the compound has the structure:



wherein r is 0 or 1; Alk<sup>1</sup> is a substituted or unsubstituted C<sub>4-7</sub>alkylene or C<sub>4-7</sub>alkenylene chain wherein up to two non-adjacent methylene units are independently optionally replaced by

CO, CO<sub>2</sub>, COCO, CONR<sup>Z1</sup>, OCONR<sup>Z1</sup>, NR<sup>Z1</sup>NR<sup>Z2</sup>, NR<sup>Z1</sup>NR<sup>Z2</sup>CO, NR<sup>Z1</sup>CO, NR<sup>Z1</sup>CO<sub>2</sub>, NR<sup>Z1</sup>CONR<sup>Z2</sup>, SO, SO<sub>2</sub>, NR<sup>Z1</sup>SO<sub>2</sub>, SO<sub>2</sub>NR<sup>Z1</sup>, NR<sup>Z1</sup>SO<sub>2</sub>NR<sup>Z2</sup>, O, S, or NR<sup>Z1</sup>; wherein each occurrence of R<sup>Z1</sup> and R<sup>Z2</sup> is independently hydrogen, alkyl, heteroalkyl, aryl, heteroaryl or acyl; R<sup>4A</sup> comprises a metal chelator; and R<sup>Z</sup> is hydrogen, a protecting group, a solid support unit, or an alkyl, cycloalkyl, heteroalkyl, heterocyclic, aryl, heteroaryl, -(alkyl)aryl, -(alkyl)heteroaryl, -(heteroalkyl)aryl, or -(heteroalkyl)heteroaryl moiety.

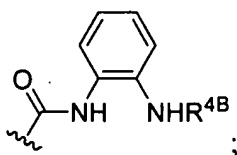
29. (Previously presented) The compound of claim 28, wherein Alk<sup>1</sup> is a moiety having the structure -C(=O)-Alk<sup>2</sup>- and the compound has the structure:



wherein Alk<sup>2</sup> is a substituted or unsubstituted C<sub>3-6</sub>alkylene or C<sub>3-6</sub>alkenylene chain wherein up to two non-adjacent methylene units are independently optionally replaced by CO, CO<sub>2</sub>, COCO, CONR<sup>Z1</sup>, OCONR<sup>Z1</sup>, NR<sup>Z1</sup>NR<sup>Z2</sup>, NR<sup>Z1</sup>NR<sup>Z2</sup>CO, NR<sup>Z1</sup>CO, NR<sup>Z1</sup>CO<sub>2</sub>, NR<sup>Z1</sup>CONR<sup>Z2</sup>, SO, SO<sub>2</sub>, NR<sup>Z1</sup>SO<sub>2</sub>, SO<sub>2</sub>NR<sup>Z1</sup>, NR<sup>Z1</sup>SO<sub>2</sub>NR<sup>Z2</sup>, O, S, or NR<sup>Z1</sup>; wherein each occurrence of R<sup>Z1</sup> and R<sup>Z2</sup> is independently hydrogen, alkyl, heteroalkyl, aryl, heteroaryl or acyl.

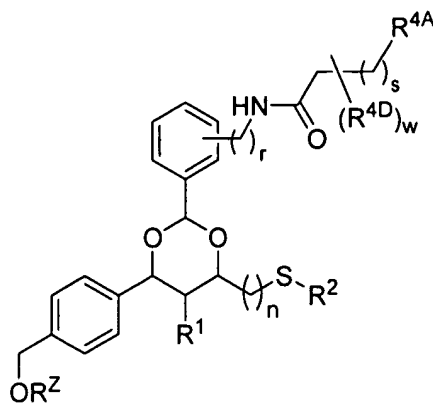
30. (Previously presented) The compound of claim 29, wherein Alk<sup>2</sup> is a substituted or unsubstituted C<sub>3-6</sub>alkylene chain.

31. (Original) The compound of claim 29, wherein R<sup>4A</sup> is -C(=O)OR<sup>4B</sup>, -C(=O)NHR<sup>4B</sup> or a moiety having the structure:



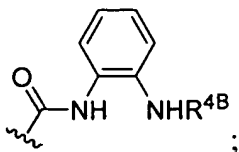
wherein each occurrence of  $R^{4B}$  is independently hydrogen, alkyl, heteroalkyl, aryl, heteroaryl or acyl.

32. (Original) The compound of claim 28 having the structure:



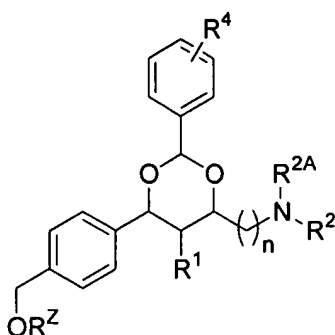
wherein  $s$  is an integer from 2-5;  $w$  is an integer from 0-4;  $R^{4A}$  comprises a metal chelator and each occurrence of  $R^{4D}$  is independently hydrogen, alkyl, heteroalkyl, cycloalkyl, heterocyclic, alkenyl, alkynyl, aryl, heteroaryl, halogen, CN, NO<sub>2</sub>, or  $WR^{W1}$  wherein  $W$  is O, S, NR<sup>W2</sup>, -C(=O), -S(=O), -SO<sub>2</sub>, -C(=O)O-, -OC(=O), -C(=O)NR<sup>W2</sup>, -NR<sup>W2</sup>C(=O); wherein each occurrence of  $R^{W1}$  and  $R^{W2}$  is independently hydrogen, a protecting group, a prodrug moiety or an alkyl, cycloalkyl, heteroalkyl, heterocyclic, aryl or heteroaryl moiety, or, when  $W$  is NR<sup>W2</sup>,  $R^{W1}$  and  $R^{W2}$ , taken together with the nitrogen atom to which they are attached, form a heterocyclic or heteroaryl moiety; or any two adjacent occurrences of  $R^{2B}$ , taken together with the atoms to which they are attached, form a substituted or unsubstituted, saturated or unsaturated alicyclic or heterocyclic moiety, or a substituted or unsubstituted aryl or heteroaryl moiety.

33. (Original) The compound of claim 32, wherein  $R^{4A}$  is -C(=O)OR<sup>4B</sup>, -C(=O)NHR<sup>4B</sup> or a moiety having the structure:



wherein each occurrence of  $R^{4B}$  is independently hydrogen, alkyl, heteroalkyl, aryl, heteroaryl or acyl.

34. (Original) The compound of claim 1, wherein the compound has the structure:



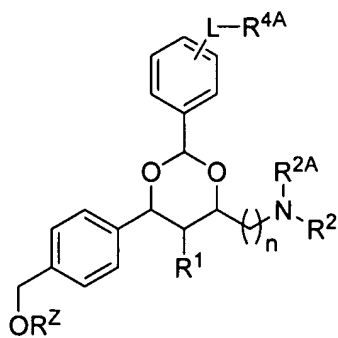
wherein  $R^4$  is  $-(CH_2)_rN(R^{4A})_2$ ,  $-(CH_2)_rSR^{4A}$ ,  $-(CH_2)_rOR^{4A}$ ,  $-(CH_2)_rNR^{4A}C(=O)R^{4B}$ ,  $-(CH_2)_rC(=O)N(R^{4A})_2$ ,  $-S(O)_2R^{4A}$ , or is an aliphatic, alicyclic, heteroaliphatic, heterocyclic, aryl, heteroaryl,  $-(aliphatic)aryl$ ,  $-(aliphatic)heteroaryl$ ,  $-(heteroaliphatic)aryl$ , or  $-(heteroaliphatic)heteroaryl$  moiety, wherein each occurrence of  $R^{4B}$  is independently hydrogen, an aliphatic, alicyclic, heteroaliphatic, heterocyclic, aryl, heteroaryl,  $-(aliphatic)aryl$ ,  $-(aliphatic)heteroaryl$ ,  $-(heteroaliphatic)aryl$ , or  $-(heteroaliphatic)heteroaryl$  moiety; and each occurrence of  $R^{4A}$  is independently hydrogen, a protecting group, an aliphatic, alicyclic, heteroaliphatic, heterocyclic, aryl, heteroaryl,  $-(aliphatic)aryl$ ,  $-(aliphatic)heteroaryl$ ,  $-(heteroaliphatic)aryl$ , or  $-(heteroaliphatic)heteroaryl$  moiety, or is  $-C(=O)CH(R^{4C})NH(SO_2)R^{4D}$ ,  $-SO_2R^{4C}$ ,  $-C(=O)R^{4C}$ ,  $-C(=O)N(R^{4C})_2$ ,  $-C(=S)N(R^{4C})_2$ , or  $-C(=O)(CH_2)_tC(=O)NHR^{4C}$ , wherein each occurrence of  $R^{4C}$  and  $R^{4D}$  is independently hydrogen, a protecting group, hydroxyl, protected hydroxyl, or an aliphatic, alicyclic, heteroaliphatic, heterocyclic, aryl, heteroaryl,  $-(aliphatic)aryl$ ,  $-(aliphatic)heteroaryl$ ,  $-(heteroaliphatic)aryl$ , or  $-(heteroaliphatic)heteroaryl$  moiety, wherein  $r$  and  $t$  are each independently 0-5;  $R^{2A}$  is hydrogen, a protecting group, or an aliphatic, alicyclic, heteroaliphatic, heterocyclic, aryl or heteroaryl moiety; and  $R^Z$  is hydrogen, a protecting group, a solid support unit, or an alkyl, cycloalkyl, heteroalkyl, heterocyclic, aryl, heteroaryl,  $-(alkyl)aryl$ ,  $-(alkyl)heteroaryl$ ,  $-(heteroalkyl)aryl$ , or  $-(heteroalkyl)heteroaryl$  moiety.

35. (Original) The compound of claim 34, wherein  $R^1$  is hydrogen, phenyl or methyl,  $R^Z$  is hydrogen or a solid support unit;  $R^2$  is a substituted or unsubstituted alkyl or heteroalkyl moiety, or a substituted or unsubstituted aryl or heteroaryl moiety; either or both of  $R^2$  and  $R^{2A}$ , or  $R^2$  and  $R^{2A}$  taken together with the nitrogen atom to which they are attached, forms a substituted or unsubstituted cycloalkyl or heterocyclic moiety, or a substituted or unsubstituted aryl or heteroaryl moiety; and  $R^4$  is  $-(CH_2)_rN(R^{4A})_2$ ,  $-(CH_2)_rSR^{4A}$ ,  $-(CH_2)_rOR^{4A}$ , -



$(\text{CH}_2)_r\text{NR}^{4A}\text{C}(=\text{O})\text{R}^{4B}$ ,  $-(\text{CH}_2)_t\text{C}(=\text{O})\text{N}(\text{R}^{4A})_2$ ,  $-\text{S}(\text{O})_2\text{R}^{4A}$ , or is an aliphatic, alicyclic, heteroaliphatic, heterocyclic, aryl, heteroaryl,  $-(\text{aliphatic})\text{aryl}$ ,  $-(\text{aliphatic})\text{heteroaryl}$ ,  $-(\text{heteroaliphatic})\text{aryl}$ , or  $-(\text{heteroaliphatic})\text{heteroaryl}$  moiety, wherein each occurrence of  $\text{R}^{4B}$  is independently hydrogen, an aliphatic, alicyclic, heteroaliphatic, heterocyclic, aryl, heteroaryl,  $-(\text{aliphatic})\text{aryl}$ ,  $-(\text{aliphatic})\text{heteroaryl}$ ,  $-(\text{heteroaliphatic})\text{aryl}$ , or  $-(\text{heteroaliphatic})\text{heteroaryl}$  moiety; and each occurrence of  $\text{R}^{4A}$  is independently hydrogen, a protecting group, an aliphatic, alicyclic, heteroaliphatic, heterocyclic, aryl, heteroaryl,  $-(\text{aliphatic})\text{aryl}$ ,  $-(\text{aliphatic})\text{heteroaryl}$ ,  $-(\text{heteroaliphatic})\text{aryl}$ , or  $-(\text{heteroaliphatic})\text{heteroaryl}$  moiety, or is  $-\text{C}(=\text{O})\text{CH}(\text{R}^{4C})\text{NH}(\text{SO}_2)\text{R}^{4D}$ ,  $-\text{SO}_2\text{R}^{4C}$ ,  $-\text{C}(=\text{O})\text{R}^{4C}$ ,  $-\text{C}(=\text{O})\text{N}(\text{R}^{4C})_2$ ,  $-\text{C}(=\text{S})\text{N}(\text{R}^{4C})_2$ , or  $-\text{C}(=\text{O})(\text{CH}_2)_t\text{C}(=\text{O})\text{NHR}^{4C}$ , wherein each occurrence of  $\text{R}^{4C}$  and  $\text{R}^{4D}$  is independently hydrogen, a protecting group, hydroxyl, protected hydroxyl, or an aliphatic, alicyclic, heteroaliphatic, heterocyclic, aryl, heteroaryl,  $-(\text{aliphatic})\text{aryl}$ ,  $-(\text{aliphatic})\text{heteroaryl}$ ,  $-(\text{heteroaliphatic})\text{aryl}$ , or  $-(\text{heteroaliphatic})\text{heteroaryl}$  moiety, wherein  $r$  and  $t$  are each independently 0-5.

36. (Previously presented) The compound of claim 34, wherein  $\text{R}^4$  represents a moiety having the structure  $-\text{L}-\text{R}^{4A}$  and the compound has the structure:

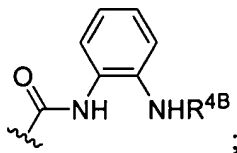


wherein  $\text{L}$  is a linker and  $\text{R}^{4A}$  comprises a metal chelator.

37. (Previously presented) The compound of claim 36, wherein  $\text{L}$  is a substituted or unsubstituted  $\text{C}_{4-8}$ alkylene or  $\text{C}_{4-8}$ alkenylene chain wherein up to two non-adjacent methylene units are independently optionally replaced by  $\text{CO}$ ,  $\text{CO}_2$ ,  $\text{COCO}$ ,  $\text{CONR}^{Z1}$ ,  $\text{OCONR}^{Z1}$ ,  $\text{NR}^{Z1}\text{NR}^{Z2}$ ,  $\text{NR}^{Z1}\text{NR}^{Z2}\text{CO}$ ,  $\text{NR}^{Z1}\text{CO}$ ,  $\text{NR}^{Z1}\text{CO}_2$ ,  $\text{NR}^{Z1}\text{CONR}^{Z2}$ ,  $\text{SO}$ ,  $\text{SO}_2$ ,  $\text{NR}^{Z1}\text{SO}_2$ ,  $\text{SO}_2\text{NR}^{Z1}$ ,  $\text{NR}^{Z1}\text{SO}_2\text{NR}^{Z2}$ ,  $\text{O}$ ,  $\text{S}$ , or  $\text{NR}^{Z1}$ ; wherein each occurrence of  $\text{R}^{Z1}$  and  $\text{R}^{Z2}$  is independently hydrogen, alkyl, heteroalkyl, aryl, heteroaryl or acyl.

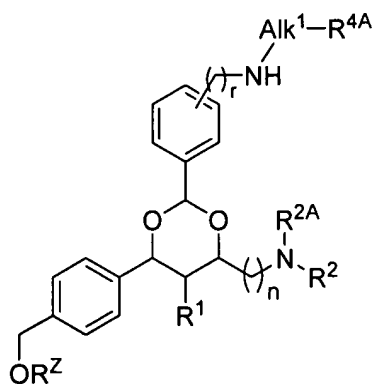
38. (Previously presented) The compound of claim 37, wherein L is –  
 $(\text{CH}_2)_r\text{NHC}(=\text{O})(\text{CH}_2)_t$ -, wherein r is 0 or 1; and t is 3, 4, 5 or 6.

39. (Original) The compound of claim 36, wherein  $\text{R}^{4\text{A}}$  is  $-\text{C}(=\text{O})\text{OR}^{4\text{B}}$ ,  $-\text{C}(=\text{O})\text{NHR}^{4\text{B}}$   
 or a moiety having the structure:



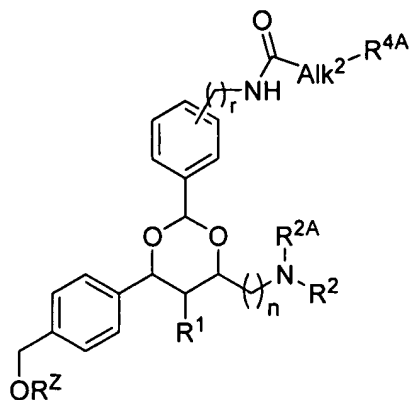
wherein each occurrence of  $\text{R}^{4\text{B}}$  is independently hydrogen, alkyl, heteroalkyl, aryl,  
 heteroaryl or acyl.

40. (Previously presented) The compound of claim 34, wherein the compound has the  
 structure:



wherein r is 0 or 1;  $\text{Alk}^1$  is a substituted or unsubstituted  $\text{C}_{4-7}$ alkylene or  $\text{C}_{4-7}$ alkenylene  
 chain wherein up to two non-adjacent methylene units are independently optionally replaced by  
 $\text{CO}$ ,  $\text{CO}_2$ ,  $\text{COCO}$ ,  $\text{CONR}^{\text{Z1}}$ ,  $\text{OCONR}^{\text{Z1}}$ ,  $\text{NR}^{\text{Z1}}\text{NR}^{\text{Z2}}$ ,  $\text{NR}^{\text{Z1}}\text{NR}^{\text{Z2}}\text{CO}$ ,  $\text{NR}^{\text{Z1}}\text{CO}$ ,  $\text{NR}^{\text{Z1}}\text{CO}_2$ ,  
 $\text{NR}^{\text{Z1}}\text{CONR}^{\text{Z2}}$ ,  $\text{SO}$ ,  $\text{SO}_2$ ,  $\text{NR}^{\text{Z1}}\text{SO}_2$ ,  $\text{SO}_2\text{NR}^{\text{Z1}}$ ,  $\text{NR}^{\text{Z1}}\text{SO}_2\text{NR}^{\text{Z2}}$ ,  $\text{O}$ ,  $\text{S}$ , or  $\text{NR}^{\text{Z1}}$ ; wherein each  
 occurrence of  $\text{R}^{\text{Z1}}$  and  $\text{R}^{\text{Z2}}$  is independently hydrogen, alkyl, heteroalkyl, aryl, heteroaryl or acyl;  
 $\text{R}^{4\text{A}}$  comprises a metal chelator; and  $\text{R}^{\text{Z}}$  is hydrogen, a protecting group, a solid support unit, or  
 an alkyl, cycloalkyl, heteroalkyl, heterocyclic, aryl, heteroaryl,  $-(\text{alkyl})\text{aryl}$ ,  $-(\text{alkyl})\text{heteroaryl}$ ,  $-(\text{heteroalkyl})\text{aryl}$ , or  $-(\text{heteroalkyl})\text{heteroaryl}$  moiety.

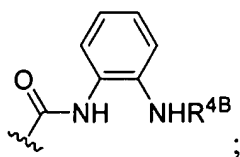
41. (Previously presented) The compound of claim 40, wherein  $\text{Alk}^1$  is a moiety  
 having the structure  $-\text{C}(=\text{O})-\text{Alk}^2$ - and the compound has the structure:



wherein  $\text{Alk}^2$  is a substituted or unsubstituted  $\text{C}_{3-6}$ alkylene or  $\text{C}_{3-6}$ alkenylene chain wherein up to two non-adjacent methylene units are independently optionally replaced by CO,  $\text{CO}_2$ , COCO,  $\text{CONR}^{\text{Z1}}$ ,  $\text{OCONR}^{\text{Z1}}$ ,  $\text{NR}^{\text{Z1}}\text{NR}^{\text{Z2}}$ ,  $\text{NR}^{\text{Z1}}\text{NR}^{\text{Z2}}\text{CO}$ ,  $\text{NR}^{\text{Z1}}\text{CO}$ ,  $\text{NR}^{\text{Z1}}\text{CO}_2$ ,  $\text{NR}^{\text{Z1}}\text{CONR}^{\text{Z2}}$ , SO,  $\text{SO}_2$ ,  $\text{NR}^{\text{Z1}}\text{SO}_2$ ,  $\text{SO}_2\text{NR}^{\text{Z1}}$ ,  $\text{NR}^{\text{Z1}}\text{SO}_2\text{NR}^{\text{Z2}}$ , O, S, or  $\text{NR}^{\text{Z1}}$ ; wherein each occurrence of  $\text{R}^{\text{Z1}}$  and  $\text{R}^{\text{Z2}}$  is independently hydrogen, alkyl, heteroalkyl, aryl, heteroaryl or acyl.

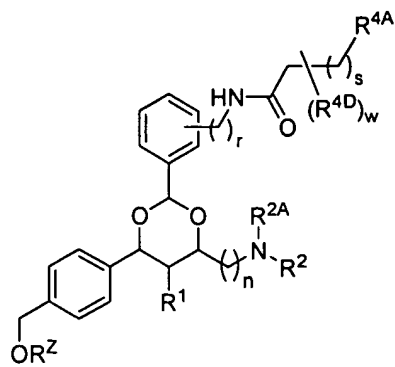
42. (Previously presented) The compound of claim 41, wherein  $\text{Alk}^2$  is a substituted or unsubstituted  $\text{C}_{3-6}$ alkylene chain.

43. (Original) The compound of claim 41, wherein  $\text{R}^{\text{4A}}$  is  $-\text{C}(=\text{O})\text{OR}^{\text{4B}}$ ,  $-\text{C}(=\text{O})\text{NHR}^{\text{4B}}$  or a moiety having the structure:



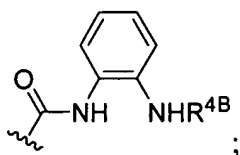
wherein each occurrence of  $\text{R}^{\text{4B}}$  is independently hydrogen, alkyl, heteroalkyl, aryl, heteroaryl or acyl.

44. (Original) The compound of claim 34 having the structure:



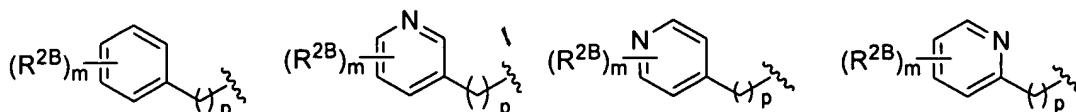
wherein  $s$  is an integer from 2-5;  $w$  is an integer from 0-4;  $R^{4A}$  comprises a metal chelator and each occurrence of  $R^{4D}$  is independently hydrogen, alkyl, heteroalkyl, cycloalkyl, heterocyclic, alkenyl, alkynyl, aryl, heteroaryl, halogen, CN, NO<sub>2</sub>, or  $WR^{W1}$  wherein  $W$  is O, S, NR<sup>W2</sup>, -C(=O), -S(=O), -SO<sub>2</sub>, -C(=O)O-, -OC(=O), -C(=O)NR<sup>W2</sup>, -NR<sup>W2</sup>C(=O); wherein each occurrence of  $R^{W1}$  and  $R^{W2}$  is independently hydrogen, a protecting group, a prodrug moiety or an alkyl, cycloalkyl, heteroalkyl, heterocyclic, aryl or heteroaryl moiety, or, when  $W$  is NR<sup>W2</sup>,  $R^{W1}$  and  $R^{W2}$ , taken together with the nitrogen atom to which they are attached, form a heterocyclic or heteroaryl moiety; or any two adjacent occurrences of  $R^{2B}$ , taken together with the atoms to which they are attached, form a substituted or unsubstituted, saturated or unsaturated alicyclic or heterocyclic moiety, or a substituted or unsubstituted aryl or heteroaryl moiety.

45. (Original) The compound of claim 44, wherein  $R^{4A}$  is -C(=O)OR<sup>4B</sup>, -C(=O)NHR<sup>4B</sup> or a moiety having the structure:

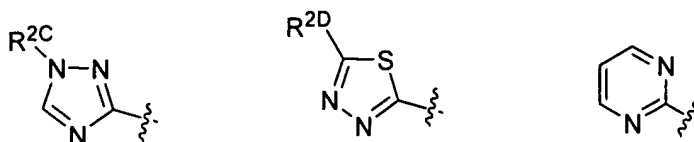


wherein each occurrence of  $R^{4B}$  is independently hydrogen, alkyl, heteroalkyl, aryl, heteroaryl or acyl.

46. (Previously presented) The compound of claim 1, 22, 32, 34 or 44, wherein  $R^2$  is one of the following structures:

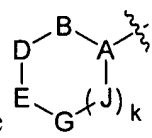






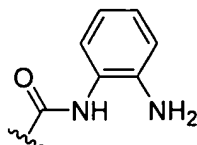
wherein m and p are each independently integers from 0 to 3;  $q_1$  is an integer from 1 to 6;  $R^{2C}$  is hydrogen, lower alkyl, aryl or a nitrogen protecting group;  $R^{2D}$  is hydrogen or lower alkyl; and each occurrence of  $R^{2B}$  is independently hydrogen, halogen, -CN, -COOH,  $NO_2$ , alkyl, heteroalkyl, aryl, heteroaryl, or  $WR^{W1}$  wherein W is O, S,  $NR^{W2}$ , -C(=O), -S(=O), -SO<sub>2</sub>, -C(=O)O-, -OC(=O), -C(=O) $NR^{W2}$ , - $NR^{W2}C(=O)$ ; wherein each occurrence of  $R^{W1}$  and  $R^{W2}$  is independently hydrogen, a protecting group, a prodrug moiety or an alkyl, cycloalkyl, heteroalkyl, heterocyclic, aryl or heteroaryl moiety, or, when W is  $NR^{W2}$ ,  $R^{W1}$  and  $R^{W2}$ , taken together with the nitrogen atom to which they are attached, form a heterocyclic or heteroaryl moiety; or any two adjacent occurrences of  $R^{2B}$ , taken together with the atoms to which they are attached, form a substituted or unsubstituted, saturated or unsaturated alicyclic or heterocyclic moiety, or a substituted or unsubstituted aryl or heteroaryl moiety.

47. (Original) The compound of claim 34 or 44, wherein either or both of  $R^2$ ,  $R^{2A}$ , or  $R^{2B}$

and  $R^{2A}$ , taken together with the nitrogen atom to which they are attached comprise , wherein k is an integer from 0-3; A-B, B-D, D-E, E-G, G-J, two or more occurrences of J, and J-A are each connected by a single or double bond; A is CH, C, or N; B is  $CR^B$ ,  $C(R^B)_2$ , C(=O),  $NR^B$ , N, O or S; D is  $CR^D$ ,  $C(R^D)_2$ , C(=O),  $NR^D$ , N, O or S; E is  $CR^E$ ,  $C(R^E)_2$ , C(=O),  $NR^E$ , N, O or S; G is  $CR^G$ ,  $C(R^G)_2$ , C(=O),  $NR^G$ , N, O or S; and each occurrence of J is independently  $CR^J$ ,  $C(R^J)_2$ , C(=O),  $NR^J$ , N, O or S; wherein each occurrence of  $R^B$ ,  $R^D$ ,  $R^E$ ,  $R^G$  and  $R^J$  is independently hydrogen, halogen, hydroxyl, protected hydroxyl, thiol, protected thiol, amino, protected amino, -COOH, -CONH<sub>2</sub>, -NHCOOH, -NHCOO(alkyl), -NHCO(alkyl), or a substituted or unsubstituted, cyclic or acyclic, linear or branched alkyl or heteroalkyl moiety, or a substituted or unsubstituted aryl or heteroaryl moiety, or any two or  $R^B$ ,  $R^D$ ,  $R^E$ ,  $R^G$  or  $R^J$  taken together comprises a substituted or unsubstituted alicyclic or heterocyclic moiety or a substituted or unsubstituted aryl or heteroaryl moiety.

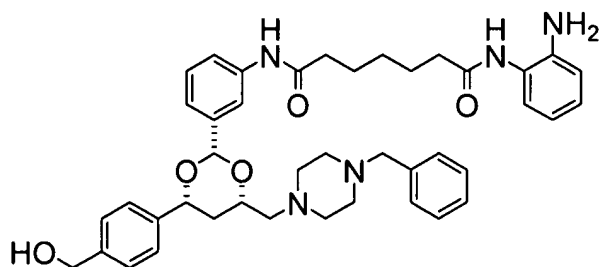
48. (Original) The compound of claim 34 or 44, wherein one or both of  $R^2$  and  $R^{2A}$  is an aryl or heteroaryl moiety substituted with  $-COOH$ , halogen, alkyl, heteroalkyl, aryl, heteroaryl,  $OH$ ,  $SH$ ,  $NO_2$ ,  $NH_2$ , or  $-NHC(=O)alkyl$ .

49. (Previously presented) The compound of claim 32 or 44, wherein  $R^{4A}$  is  $-C(=O)OH$ ,  $-C(=O)NHOH$  or a moiety having the structure:

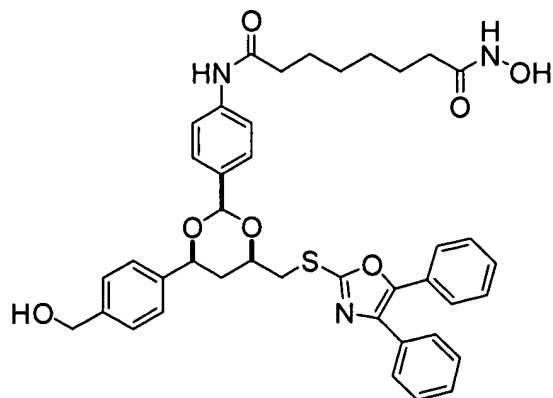


50. (Previously presented) The compound of claim 32 or 44, wherein  $R^{4A}$  is  $-C(=O)NHOH$ .

51. (Original) The compound of claim 1 having the structure:



52. (Original) The compound of claim 1 having the structure:



53. (Original) A pharmaceutical composition comprising:

a compound of any one of claims 1, 22, 32, 34 or 44; and  
a pharmaceutically acceptable carrier or diluent, optionally further comprising an additional therapeutic agent.

54. (Original) The pharmaceutical composition of claim 53, wherein the compound is present in an amount effective to inhibit histone deacetylase activity.

55. (Withdrawn) A method for inhibiting histone deacetylase activity in a patient or a biological sample, comprising administering to said patient, or contacting said biological sample with an effective inhibitory amount of a compound of claim 1, 22, 32, 34 or 44.

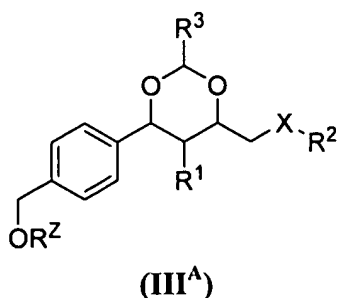
56. (Withdrawn) A method for inhibiting histone deacetylase activity in a cell comprising contacting a cell with a compound of any one of claims 1, 22, 32, 34 or 44.

57. (Withdrawn) The method of claim 55, wherein the histone deacetylase is HDAC1 or HDAC6.

58. (Withdrawn) A method for treating cancer comprising:  
administering to a subject in need thereof a therapeutically effective amount of a compound of any one of claims 1, 22, 32, 34 or 44.

59. (Withdrawn) The method of claim 58, further comprising administering an additional therapeutic agent.

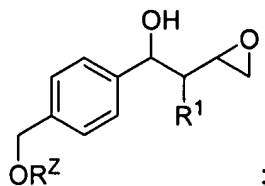
60. (Withdrawn) A method for the synthesis of a compound of claim 9 wherein n is 1, and the compound has the structure:



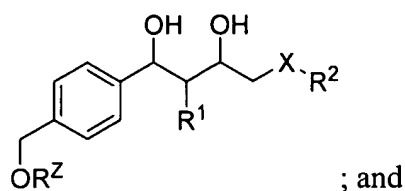


said method comprising steps of:

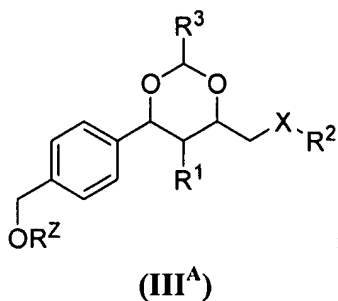
providing an epoxy alcohol having the structure:



reacting the epoxy alcohol with a reagent having the structure  $R^2XH$  under suitable conditions to generate a diol having the core structure:



reacting the diol with a reagent having the structure  $R^3CH(OMe)_2$  under suitable conditions to generate a scaffold having the core structure:



wherein  $R^1$  is hydrogen, or an aliphatic, alicyclic, heteroaliphatic, heterocyclic, aromatic or heteroaromatic moiety;

$R^2$  is hydrogen, a protecting group, or an aliphatic, alicyclic, heteroaliphatic, heterocyclic, aromatic or heteroaromatic moiety;

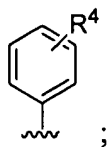
$X$  is  $-O-$ ,  $-C(R^{2A})_2-$ ,  $-S-$ , or  $-NR^{2A}-$ , wherein  $R^{2A}$  is hydrogen, a protecting group, or an aliphatic, alicyclic, heteroaliphatic, heterocyclic, aromatic or heteroaromatic moiety;

or wherein two or more occurrences of  $R^2$  and  $R^{2A}$ , taken together, form an alicyclic or heterocyclic moiety, or an aryl or heteroaryl moiety;

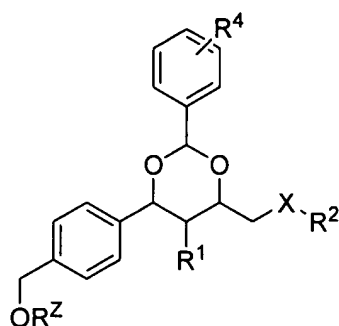
$R^3$  is an aliphatic, alicyclic, heteroaliphatic, heterocyclic, aromatic or heteroaromatic moiety; and

$R^Z$  is an aliphatic, alicyclic, heteroaliphatic, heterocyclic, aromatic or heteroaromatic moiety and is attached to a solid support.

61. (Withdrawn) The method of claim 60, wherein  $R^3$  has the following structure:

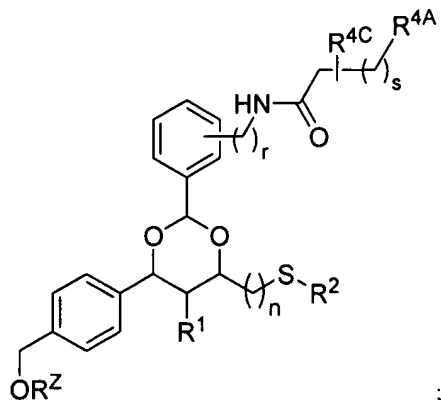


and the method generates a scaffold having the core structure:



(VIII<sup>A</sup>)

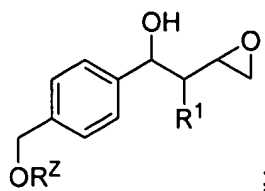
62. (Withdrawn) A method for the synthesis of a compound of claim 28 having the structure:



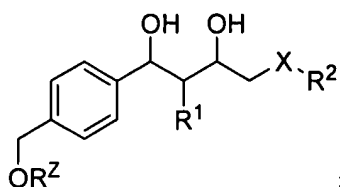
(IX)

said method comprising steps of:

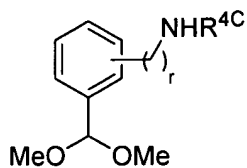
providing an epoxy alcohol having the structure:



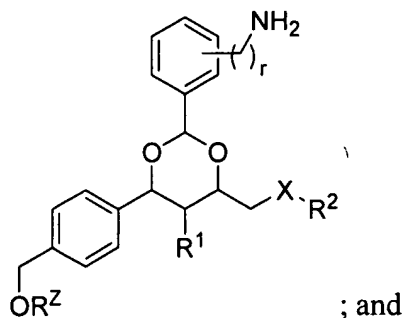
reacting the epoxy alcohol with a reagent having the structure  $R^2XH$  under suitable conditions to generate a diol having the core structure:



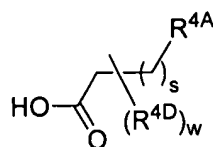
subjecting the diol with a reagent having the structure:



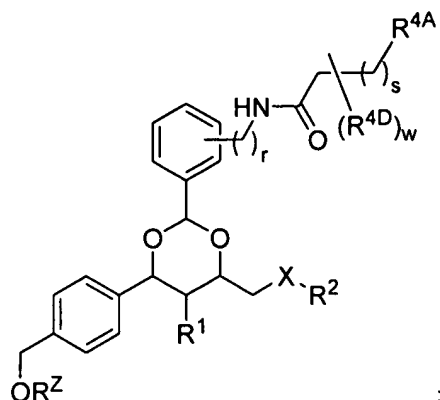
; wherein  $R^{4C}$  is a nitrogen protecting group;  
to suitable conditions to generate an amine having the structure:



; and  
reacting the amine with a reagent having the structure:



under suitable conditions to generate a scaffold having the core structure:



(IX)

wherein  $R^1$  is hydrogen, or an aliphatic, alicyclic, heteroaliphatic, heterocyclic, aromatic or heteroaromatic moiety;

$R^2$  is hydrogen, a protecting group, or an aliphatic, alicyclic, heteroaliphatic, heterocyclic, aromatic or heteroaromatic moiety;

$X$  is  $-O-$ ,  $-C(R^{2A})_2-$ ,  $-S-$ , or  $-NR^{2A}-$ , wherein  $R^{2A}$  is hydrogen, a protecting group, or an aliphatic, alicyclic, heteroaliphatic, heterocyclic, aromatic or heteroaromatic moiety;

or wherein two or more occurrences of  $R^2$  and  $R^{2A}$ , taken together, form an alicyclic or heterocyclic moiety, or an aryl or heteroaryl moiety;

$r$  is 0 or 1;

$s$  is an integer from 2-5;

$w$  is an integer from 0-4;

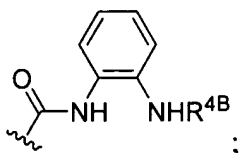
$R^{4A}$  comprises a metal chelator;

each occurrence of  $R^{4D}$  is independently hydrogen, alkyl, heteroalkyl, cycloalkyl, heterocyclic, alkenyl, alkynyl, aryl, heteroaryl, halogen, CN, NO<sub>2</sub>, or  $WR^{W1}$  wherein  $W$  is O, S,  $NR^{W2}$ ,  $-C(=O)$ ,  $-S(=O)$ ,  $-SO_2$ ,  $-C(=O)O-$ ,  $-OC(=O)$ ,  $-C(=O)NR^{W2}$ ,  $-NR^{W2}C(=O)$ ; wherein each occurrence of  $R^{W1}$  and  $R^{W2}$  is independently hydrogen, a protecting group, a prodrug moiety or an alkyl, cycloalkyl, heteroalkyl, heterocyclic, aryl or heteroaryl moiety, or, when  $W$  is  $NR^{W2}$ ,  $R^{W1}$  and  $R^{W2}$ , taken together with the nitrogen atom to which they are attached, form a heterocyclic or heteroaryl moiety; or any two adjacent occurrences of  $R^{2B}$ , taken together with the atoms to which they are attached, form a substituted or unsubstituted, saturated or unsaturated alicyclic or heterocyclic moiety, or a substituted or unsubstituted aryl or heteroaryl moiety; and

$R^Z$  is an aliphatic, alicyclic, heteroaliphatic, heterocyclic, aromatic or heteroaromatic moiety and is attached to a solid support.

63. (Withdrawn) The method of claim 60 or 62, wherein the method further comprises cleaving the core structure from the solid support to which it is attached.

64. (Withdrawn) The method of claim 60 or 62, wherein  $R^{4A}$  comprises  $-C(=O)OR^{4B}$ ,  $-C(=O)NHR^{4B}$  or a moiety having the structure:



wherein each occurrence of  $R^{4B}$  is independently hydrogen, alkyl, heteroalkyl, aryl, heteroaryl or acyl.

65. (Withdrawn) The method of claim 64, wherein  $R^{4B}$  is hydrogen.